## WHAT IS CLAIMED IS:

1. A compound of Formula IA:

Formula IA

or a pharmaceutically acceptable salt thereof, wherein

A is oxygen, sulfur or NR;

R is C<sub>1</sub>-C<sub>7</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, C<sub>2</sub>-C<sub>7</sub>alkynyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, (C<sub>3</sub>-C<sub>10</sub>carbocycle)C<sub>1</sub>-C<sub>4</sub>alkyl or (4- to 7-membered heterocycloalkyl)C<sub>1</sub>-C<sub>4</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl;

x is 0, 1 or 2;

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J, K and each occurrence of L are chosen from oxygen, sulfur, NH and CH<sub>2</sub>; such that no more than one of J, K and L is chosen from oxygen, sulfur and NH;

15  $R_1$  is chosen from:

- i) hydrogen, hydroxy, halogen, amino, cyano, nitro, -CHO, -CONH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>haloalkyl and C<sub>1</sub>-C<sub>6</sub>haloalkoxy;
- ii) C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, C<sub>2</sub>-C<sub>7</sub>alkynyl, C<sub>2</sub>-C<sub>6</sub>alkanoyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, (4- to 10-membered heterocycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, monoand di-(C<sub>1</sub>-C<sub>6</sub>alkyl)aminoC<sub>0</sub>-C<sub>6</sub>alkyl, mono- and di-(C<sub>1</sub>-C<sub>6</sub>alkyl)carboxamide, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl, -SO<sub>n</sub>(C<sub>1</sub>-C<sub>6</sub>alkyl), -NHSO<sub>n</sub>C<sub>1</sub>-C<sub>6</sub>alkyl, -(C<sub>0</sub>-C<sub>6</sub>alkyl)SO<sub>n</sub>(C<sub>1</sub>-C<sub>6</sub>alkyl), -SO<sub>n</sub>N(C<sub>1</sub>-C<sub>6</sub>alkyl)(C<sub>1</sub>-C<sub>6</sub>alkyl), and -SO<sub>n</sub>-phenyl, wherein each n is independently 0, 1 or 2, and each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl; and
- iii) naphthyl, phenyl and 5- to 10-membered heteroaryl, each of which is substituted with from 0 to 3 substituents independently chosen from R<sub>11</sub>;

R<sub>2</sub> and R<sub>3</sub> are independently hydrogen or C<sub>1</sub>-C<sub>6</sub>alkyl;

R<sub>4</sub> represents 1 substituent chosen from:

i) C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>-C<sub>6</sub>alkynyl, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl and hexahydro-1,3-benzodioxolyl;

- ii) aryl having 1 ring or 2 fused or pendant rings;
- iii) (4- to 10-membered heterocycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl;
- iv) phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring that (a) has 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon, and (b) is substituted with from 0 to 3 substituents independently chosen from halogen, C<sub>1</sub>-C<sub>8</sub>alkyl, C<sub>1</sub>-C<sub>8</sub>alkoxy, C<sub>1</sub>-C<sub>8</sub>haloalkyl, C<sub>1</sub>-C<sub>8</sub>haloalkoxy;
- v) (5- to 10-membered heteroaryl)C<sub>0</sub>-C<sub>4</sub>alkyl, having 1 ring or 2 fused or pendant rings, from 5 to 7 members in each ring, and in at least one ring from 1 to 3 heteroatoms independently selected from N, O, and S, wherein R<sub>4</sub> is not pyrimidyl; and
- vi) groups that are taken together with an R<sub>5</sub> moiety to form a fused phenyl or pyridyl ring;

wherein each of i), ii), iii), iv), v) and vi) is substituted with from 0 to 3 substituents independently chosen from  $R_{11}$ ;

R<sub>5</sub> represents from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, nitro, -CHO, -CONH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>3</sub>-C<sub>7</sub>cycloalkylC<sub>0</sub>-C<sub>4</sub>alkyl, mono- and di-(C<sub>1</sub>-C<sub>6</sub>alkyl)aminoC<sub>0</sub>-C<sub>6</sub>alkyl, optionally substituted phenyl, and groups that are taken together with R<sub>4</sub> to form a fused, optionally substituted phenyl or pyridyl ring; and

## Ar<sub>1</sub> represents

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- i) phenyl or naphthyl, each of which is substituted with from 0 to 3 substituents independently chosen from amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>4</sub>sulfonate, C<sub>1</sub>-C<sub>4</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>3</sub>-C<sub>6</sub>alkanone, C<sub>2</sub>-C<sub>4</sub>alkyl ether, C<sub>2</sub>-C<sub>4</sub>alkanoyloxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide;
  - ii) phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring that (a) has 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon, and (b) is substituted with from 0 to 3 substituents independently chosen from halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl and C<sub>1</sub>-C<sub>2</sub>haloalkoxy; or
  - iii) heteroaryl, having 1 ring or 2 fused or pendant rings, from 5 to 7 members in each ring, and in at least one ring from 1 to 3 heteroatoms independently selected from N, O, and S;

wherein each of ii) and iii) is substituted with from 0 to 3 substituents independently chosen from  $R_{11}$ ; and

R<sub>11</sub> is independently chosen at each occurrence from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>6</sub>alkyl)amino, C<sub>2</sub>-C<sub>6</sub>alkanoyl, C<sub>1</sub>-C<sub>6</sub>sulfonate, C<sub>1</sub>-C<sub>6</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>3</sub>-C<sub>6</sub>alkanone, C<sub>2</sub>-C<sub>6</sub>alkyl ether, C<sub>2</sub>-C<sub>6</sub>alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide.

## 2. A compound or salt according to claim 1, wherein:

R is chosen from C<sub>1</sub>-C<sub>7</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, C<sub>2</sub>-C<sub>7</sub>alkynyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>1</sub>-C<sub>4</sub>alkyl and (4- to 7-membered heterocycloalkyl)C<sub>1</sub>-C<sub>4</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy and C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl;

## R<sub>1</sub> is chosen from:

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- i) hydrogen, hydroxy, halogen, amino, cyano, nitro, -CHO, -CONH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>haloalkyl and C<sub>1</sub>-C<sub>6</sub>haloalkoxy;
  - ii) C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkenyl, C<sub>1</sub>-C<sub>6</sub>alkynyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>2</sub>alkyl, (4- to 10-membered heterocycloalkyl)C<sub>0</sub>-C<sub>2</sub>alkyl, and mono- and di-(C<sub>1</sub>-C<sub>6</sub>alkyl)carboxamide, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>alkoxy, and
  - iii) naphthyl, phenyl, pyridyl, thiazolyl, pyrimidinyl and thienyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, C<sub>2</sub>-C<sub>6</sub>alkanoyl, C<sub>1</sub>-C<sub>6</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>6</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>3</sub>-C<sub>6</sub>alkanone, C<sub>2</sub>-C<sub>6</sub>alkylether, C<sub>2</sub>-C<sub>6</sub>alkanoyloxy, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide;

 $R_4$ :

i) represents C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, C<sub>2</sub>-C<sub>7</sub>alkynyl, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, hexahydro-1,3-benzodioxolyl, phenyl, naphthyl or (4- to 7-membered heterocycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>4</sub>sulfonate, C<sub>1</sub>-C<sub>4</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>

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- $C_4$ alkylsulfinyl,  $C_1$ - $C_4$ alkylthio,  $C_3$ - $C_6$ alkanone,  $C_2$ - $C_4$ alkyl ether,  $C_2$ - $C_4$ alkanoyloxy,  $C_1$ - $C_4$ alkoxycarbonyl, and  $C_1$ - $C_6$ alkylcarboxamide; or
- ii) is phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring that (a) has 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon, and (b) is substituted with from 0 to 3 substituents independently chosen from halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl and C<sub>1</sub>-C<sub>2</sub>haloalkoxy; or
- iii) is taken together with an R<sub>5</sub> moiety to form a fused phenyl or pyridyl ring that is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, COOH, -CONH<sub>2</sub>, and mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino;
- R<sub>5</sub> represents from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, and groups that are taken together with R<sub>4</sub> to form a fused, optionally substituted phenyl or pyridyl ring; and
- Ar<sub>1</sub> represents phenyl, naphthyl, pyridyl, pyrimidinyl, pyridizinyl, pyrazinyl, pyrazolyl, imidazolyl, thiazolyl, isothiazolyl, pyrrolyl, oxazolyl, furanyl, indazolyl or thienyl, each of which is substituted with from 0 to 3 substituents independently chosen from amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>4</sub>sulfonate, C<sub>1</sub>-C<sub>4</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>alkylthio, C<sub>3</sub>-C<sub>6</sub>alkanone, C<sub>2</sub>-C<sub>4</sub>alkyl ether, C<sub>2</sub>-C<sub>4</sub>alkanoyloxy, C<sub>1</sub>-C<sub>4</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide.
  - 3. A compound or salt according to claim 1 or claim 2, wherein A is oxygen.
  - 4. A compound or salt according to claim 1 or claim 2, wherein A is sulfur.
  - 5. A compound or salt according to claim 1 or claim 2, wherein A is NR.
- 6. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula II:

$$R_1$$
 $R_2$ 
 $R_3$ 
 $R_4$ 

Formula II.

7. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula III:

Formula III.

8. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula IV:

$$R_1$$
 $R_2$ 
 $R_3$ 
 $R_4$ 

Formula IV.

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9. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula V:

$$Ar_1 \xrightarrow{N} \begin{array}{c} R_1 \\ N \\ R_2 \\ R_3 \\ R_4 \end{array}$$

Formula V.

15 10. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula VI:

$$R_1$$
 $R_2$ 
 $R_3$ 
 $R_4$ 

Formula VI.

11. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula VII:

$$Ar_1 = \begin{pmatrix} R_1 & R_5 & R_4 \\ N & R_2 & R_3 \end{pmatrix}$$

Formula VII.

12. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula VIII:

$$Ar_1 \xrightarrow{R_1} \xrightarrow{R_5} \xrightarrow{$$

Formula VIII

wherein:

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K is CH2 or NH; and

- 10 R<sub>6</sub> represents from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub> and mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino.
  - 13. A compound or salt according to claim 12, wherein A is NR and K is CH<sub>2</sub>.
  - 14. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula IX:

$$Ar_1$$
 $Ar_1$ 
 $Ar_2$ 
 $R_3$ 
 $R_3$ 

Formula IX.

20 . 15. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula X:

16. A compound or salt according to claim 1 or claim 2, wherein the compound satisfies Formula XI:

$$R_1$$
 $R_2$ 
 $R_3$ 
 $R_4$ 
Formula XI.

17. A compound or salt according to claim 1 or claim 2, wherein the compound

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satisfies Formula XII:

$$R_1$$
 $R_2$ 
 $R_3$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 
 $R_6$ 
 $R_6$ 

Formula XII

- wherein R<sub>6</sub> represents from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub> and mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino.
  - 18. A compound or salt according to any one of claims 1 to 17, wherein  $R_2$  and  $R_3$  are both hydrogen.
- 19. A compound or salt according to any one of claims 1 to 18, wherein Ar<sub>1</sub> is phenyl, pyridyl, indazolyl or thienyl, each of which is substituted with 0 to 3 substituents independently chosen from C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy and mono- and di-(C<sub>1</sub>-C<sub>2</sub>alkyl)amino.
- 20. A compound or salt according to claim 19, wherein Ar<sub>1</sub> is phenyl or mono- or disubstituted phenyl.
  - 21. A compound or salt according to claim 20, wherein Ar<sub>1</sub> is phenyl substituted with one or two substituents independently chosen from ethyl and methyl.

22. A compound or salt according to claim 21, wherein Ar<sub>1</sub> is 2,6-disubstituted phenyl.

- 23. A compound or salt according to any one of claims 2 through 22, wherein R<sub>1</sub> is:
- i) halogen;

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- ii) C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>0</sub>-C<sub>4</sub>alkyl, pyrrolidinylC<sub>0</sub>-C<sub>2</sub>alkyl, morpholinylC<sub>0</sub>-C<sub>2</sub>alkyl, piperinylC<sub>0</sub>-C<sub>2</sub>alkyl or piperazinylC<sub>0</sub>-C<sub>2</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>alkoxy; or
- iii) phenyl or pyridyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, and mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino.
- 24. A compound or salt according to claim 23, wherein  $R_1$  is halogen,  $C_1$ - $C_2$ alkyl,  $C_1$ - $C_2$ alkoxy, or pyrrolidinyl $C_1$ - $C_2$ alkyl.
- 25. A compound or salt according to claim 23, wherein R<sub>1</sub> is phenyl or pyridyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, -COOH, -CONH<sub>2</sub>, -SO<sub>2</sub>NH<sub>2</sub>, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, and mono- and di-C<sub>1</sub>-C<sub>4</sub>alkylamino.
  - 26. A compound or salt according to any one of claims 5 through 25, wherein R is C<sub>1</sub>-C<sub>7</sub>alkyl, C<sub>2</sub>-C<sub>7</sub>alkenyl, (C<sub>3</sub>-C<sub>7</sub>cycloalkyl)C<sub>1</sub>-C<sub>4</sub>alkyl or (1,3-dioxylan-2-yl)C<sub>1</sub>-C<sub>4</sub>alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>4</sub>alkyl and C<sub>1</sub>-C<sub>4</sub>alkoxy.
    - 27. A compound or salt according to claim 26 wherein R is  $C_1$ - $C_5$ alkyl,  $C_2$ - $C_4$ alkenyl or (1,3-dioxylan-2-yl) $C_1$ - $C_4$ alkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino,  $C_1$ - $C_4$ alkyl and  $C_1$ - $C_4$ alkoxy.
    - 28. A compound or salt according to any one of claims 3 to 27, wherein R<sub>4</sub> is C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkoxycarbonyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>2</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, and mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino.

29. A compound or salt according to any one of claims 3 to 27, wherein  $R_4$  is phenyl $C_0$ - $C_1$ alkyl, pyridyl $C_0$ - $C_1$ alkyl, pyrimidyl $C_0$ - $C_1$ alkyl, thienyl $C_0$ - $C_1$ alkyl, naphthyl $C_0$ - $C_1$ alkyl, indolyl $C_0$ - $C_1$ alkyl, benzoxadiazolyl $C_0$ - $C_1$ alkyl, benzoxazolyl $C_0$ - $C_1$ alkyl, quinazolinyl $C_0$ - $C_1$ alkyl, benzothiazolyl $C_0$ - $C_1$ alkyl or benzimidazolyl $C_0$ - $C_1$ alkyl, each of which is substituted with from 0 to 2 substituents independently chosen from hydroxy, halogen, amino, cyano,  $C_1$ - $C_2$  alkyl,  $C_1$ - $C_2$ alkoxy,  $C_1$ - $C_2$ haloalkyl,  $C_1$ - $C_2$ haloalkoxy and mono- and di- $(C_1$ - $C_2$ alkyl)amino.

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- 30. A compound or salt according to any one of claims 3 to 27, wherein R<sub>4</sub> is phenyl or pyridyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>4</sub>alkyl)amino, C<sub>2</sub>-C<sub>4</sub>alkanoyl, C<sub>1</sub>-C<sub>4</sub>sulfonate, C<sub>1</sub>-C<sub>4</sub>alkylsulfonyl, C<sub>1</sub>-C<sub>4</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>4</sub>alkylsulfinyl, C<sub>1</sub>-C<sub>6</sub>alkylcarboxamide.
- 31. A compound or salt according to claim 30, wherein R<sub>4</sub> is phenyl or pyridyl, each of which is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub>, mono- and di-(C<sub>1</sub>-C<sub>2</sub>alkyl)amino, C<sub>1</sub>-C<sub>2</sub>alkoxycarbonyl and C<sub>1</sub>-C<sub>2</sub>alkylcarboxamide.
- 32. A compound or salt according to any one of claims 3 to 27, wherein R<sub>4</sub> is phenyl fused to a 5- to 7-membered saturated or partially unsaturated ring that (a) has 0, 1 or 2 ring atoms independently chosen from N, O and S, with remaining ring atoms being carbon, and (b) is substituted with from 0 to 3 substituents independently chosen from halogen, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, and C<sub>1</sub>-C<sub>2</sub>haloalkoxy.
- 33. A compound or salt according to claim 32, wherein R<sub>4</sub> represents 1,3-benzodioxol-5-yl, 2,3-dihydro-1-benzofuran-6-yl, 2,3-dihydro-1-benzofuran-5-yl, 2,3-dihydro-1,4-benzodioxin-6-yl, chroman-7-yl, 1,3-benzothiazolyl or 2,3-dihydroindol-5-yl, each of which is substituted with from 0 to 2 substituents independently selected from hydroxy, halogen, amino, cyano, oxo, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, C<sub>1</sub>-C<sub>2</sub>alkyl, C<sub>1</sub>-C<sub>2</sub>alkoxy and mono- and di-(C<sub>1</sub>-C<sub>2</sub>alkyl)amino.
- 34. A compound or salt according to claim 33, wherein R<sub>4</sub> is benzo[1,3]dioxol-5-yl or 2,3-dihydro-benzo[1,4]dioxin-6-yl, each of which is substituted with from 0 to 3

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substituents independently chosen from halogen,  $C_1$ - $C_2$ alkyl,  $C_1$ - $C_2$ alkoxy,  $C_1$ - $C_2$ haloalkyl and  $C_1$ - $C_2$ haloalkoxy.

- 35. A compound or salt according to any one of claims 3 to 27, wherein R<sub>4</sub> is taken together with R<sub>5</sub> to form a fused phenyl or pyridyl ring that is substituted with from 0 to 3 substituents independently chosen from hydroxy, halogen, amino, cyano, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, C<sub>1</sub>-C<sub>2</sub>haloalkyl, C<sub>1</sub>-C<sub>2</sub>haloalkoxy, -COOH, -CONH<sub>2</sub> and mono- and di-(C<sub>1</sub>-C<sub>4</sub>)alkylamino.
- 36. A compound or salt according to any one of claims 3 to 33, wherein  $R_5$  represents from 0 to 3 substituents independently chosen from hydroxy, halogen,  $C_1$ - $C_2$ alkyl, and  $C_1$ - $C_2$ alkoxy.
- 37. A compound or salt according to any one of claims 1-35, wherein the compound exhibits an  $IC_{50}$  of 500 nM or less in a standard *in vitro* C5a receptor-mediated chemotaxis or calcium mobilization assay.
- 38. A compound or salt according to any one of claims 1-35, wherein the compound exhibits an IC<sub>50</sub> of 25 nM or less in a standard *in vitro* C5a receptor-mediated chemotaxis or calcium mobilization assay.
  - 39. A compound or salt according to any one of claims 1-35, wherein the compound exhibits less than 5% agonist activity in a GTP binding assay.
- 40. A pharmaceutical composition comprising at least one compound or salt according to any one of claims 1-35, in combination with a physiologically acceptable carrier or excipient.
  - 41. A pharmaceutical composition according claim 40, wherein the pharmaceutical composition is formulated as an injectible fluid, an aerosol, a cream, a gel, a pill, a capsule, a syrup, or a transdermal patch.
- 42. A method for inhibiting signal-transducing activity of a cellular C5a receptor, comprising contacting a cell expressing C5a receptor with at least one compound or salt according to any one of claims 1-35, and thereby reducing signal transduction by the C5a receptor.

43. A method according to claim 42, wherein the cell is contacted *in vivo* in an animal.

- 44. A method according to claim 43, wherein the animal is a human.
- 45. A method for inhibiting binding of C5a to C5a receptor *in vitro*, the method comprising contacting C5a receptor with at least one compound or salt according to any one of claims 1-35, under conditions and in an amount sufficient to detectably inhibit C5a binding to C5a receptor.
  - 46. A method for inhibiting binding of C5a to C5a receptor in a human patient, comprising contacting cells expressing C5a receptor with at least one compound or salt according to any one of claims 1-35, in an amount sufficient to detectably inhibit C5a binding to cells expressing a cloned C5a receptor *in vitro*, and thereby inhibiting binding of C5a to the C5a receptor in the patient.

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- 47. A method for treating a patient suffering from rheumatoid arthritis, psoriasis, cardiovascular disease, reperfusion injury, or bronchial asthma comprising administering to the patient a C5a receptor modulatory amount of a compound or salt according to any one of claims 1-35.
- 48. A method for treating a patient suffering from stroke, myocardial infarction, atherosclerosis, ischemic heart disease, or ischemia-reperfusion injury comprising administering to the patient a C5a receptor modulatory amount of a compound or salt according to any one of claims 1-35.
- 49. A method for treating a patient suffering from cystic fibrosis or sepsis, comprising administering to a patient in need of such treatment a C5a receptor modulatory amount of a compound or salt according to any one of claims 1-35.
- 50. A method for inhibiting C5a receptor-mediated cellular chemotaxis, comprising contacting mammalian white blood cells with a C5a receptor modulatory amount of a compound or salt according to any one of claims 1-35.

51. A method for localizing C5a receptor in a tissue sample, comprising:

- (a) contacting the tissue sample containing C5a receptor with a detectably labeled compound or salt according to any one of claims 1-35 under conditions that permit binding of the compound or salt to C5a receptors; and
- (b) detecting the bound compound or salt.
  - 52. A method according to claim 51, wherein the compound is radiolabeled.
  - 53. A packaged pharmaceutical preparation, comprising:
- (a) a pharmaceutical composition according to claim 40 in a container; and
- (b) instructions for using the composition to treat a patient suffering from rheumatoid arthritis, psoriasis, cardiovascular disease, reperfusion injury, or bronchial asthma.
  - 54. A packaged pharmaceutical preparation, comprising:
- (a) a pharmaceutical composition according to claim 40 in a container; and
- (b) instructions for using the composition to treat stroke, myocardial infarction, atherosclerosis, ischemic heart disease, or ischemia-reperfusion injury.
  - 55. A packaged pharmaceutical preparation, comprising:
- (a) a pharmaceutical composition according to claim 40 in a container; and
- (b) instructions for using the composition to treat a patient suffering from cystic fibrosis or sepsis.

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